

09/380835

(FILE 'HOME' ENTERED AT 13:25:39 ON 20 OCT 2000)

FILE 'REGISTRY' ENTERED AT 13:25:59 ON 20 OCT 2000

L1 STRUCTURE UPLOADED  
L2 STRUCTURE UPLOADED  
L3 4 S L2  
L4 573 S L2 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:37:19 ON 20 OCT 2000

L5 1638 S L4  
L6 6 S L5 AND DIMERIZATION  
L7 86 S L5 AND REDUCTIVE  
L8 0 S L7 AND METAL  
L9 14 S L5 AND METAL  
L10 0 S L9 AND REDUCING  
L11 1 S L6 AND L7  
L12 35 S L7 AND PIPERIDINE  
L13 35 S L12 NOT L9  
L14 35 S L13 NOT L6  
L15 5 S L5 AND ANTIHISTAMINE

FILE 'REGISTRY' ENTERED AT 13:55:42 ON 20 OCT 2000  
E LORATIDINE/CN

L16 1 S E3

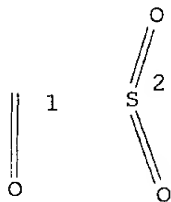
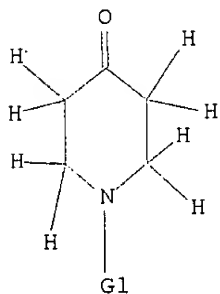
FILE 'CAPLUS' ENTERED AT 13:56:21 ON 20 OCT 2000

L17 311 S L16  
L18 7 S L5 AND L17  
L19 6 S L18 NOT L15

=> d 12

L2 HAS NO ANSWERS

L2 STR

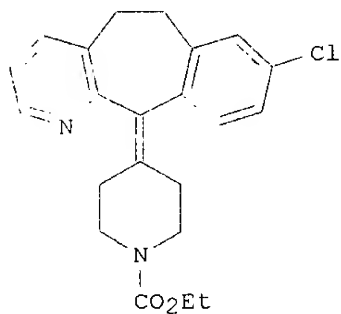


G1 Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu, Ph, Hy, [1], [2]

09/380835

L19 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2000 ACS  
AN 2000:454330 CAPLUS  
DN 133:73940  
TI Process for the preparation of loratadine  
IN Stampa, Alberto; Camps, Pelayo; Rodriguez, Gloria; Bosch, Jordi; Onrubia, Mariadel Carmen  
PA Medichem, S.A., Spain  
SO U.S., 4 pp.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 6084100	A	20000704	US 1998-58837	19980413
				US 1997-48083	19970530
OS	CASREACT 133:73940				
GI					

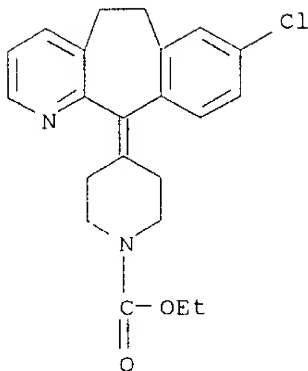


AB The title compd. I was prepd. by the reductive coupling between 8-chloro-5,6-dihydrobenzo[5,6]cyclohepta[1,2-b]pyridin-11-one and Et 4-oxopiperidine-1-carboxylate through the action of low-valent titanium species.

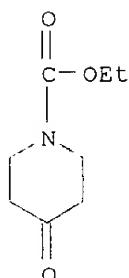
IT **79794-75-5P**, Loratadine  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(process for the prepn. of loratadine)

RN 79794-75-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



IT 29976-53-2  
 RL: RCT (Reactant)  
 (process for the prepn. of loratadine)  
 RN 29976-53-2 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA  
 INDEX NAME)



L19 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2000 ACS  
 AN 1998:608599 CAPLUS  
 DN 129:230642  
 TI Process for the preparation of 10,11-dihydro-5H-dibenzo[a,d]cyclohept-5-  
 enes.  
 IN Jackson, William Paul  
 PA Rolabo S.L., Spain.  
 SO PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838166	A1	19980903	WO 1998-GB605	19980226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9863047	A1	19980918	GB 1997-3992	19970226
			AU 1998-63047	19980226
			GB 1997-3992	19970226
			WO 1998-GB605	19980226
EP 970050	A1	20000112	EP 1998-907067	19980226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

GB 1997-3992 19970226  
WO 1998-GB605 19980226  
US 1999-383078 19990826  
GB 1997-3992 19970226  
WO 1998-GB605 19980226

US 6093827 A 20000725

OS CASREACT 129:230642; MARPAT 129:230642  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title 10,11-dihydro-5H-dibenzo[a,d]cyclohept-5-enes (e.g. loratadine) [I; ] were prepd. by reacting a dibenzozuberone II with an aliph. ketone III in the presence of low valent titanium. The reaction proceeds via an intermediate diol IV which maybe isolated by conducting the reaction at a lower temp.

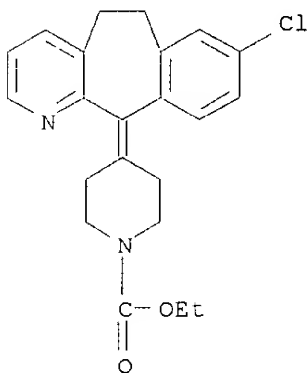
IT 79794-75-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

.(process for the prepn. of 10,11-dihydro-5H-dibenzo[a,d]cyclohept-5-enes)

RN 79794-75-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



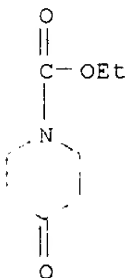
IT 29976-53-2

RL: RCT (Reactant)

(process for the prepn. of 10,11-dihydro-5H-dibenzo[a,d]cyclohept-5-enes)

RN 29976-53-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



AN 1997:796577 CAPLUS

DN 128:22821

TI Preparation of ethyl

4-(5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)piperidine-1-carboxylate

IN Rey, Max; Gladow, Stefan

PA Cilag Ag, Switz.

SO Patentschrift (Switz.), 8 pp.

CODEN: SWXXAS

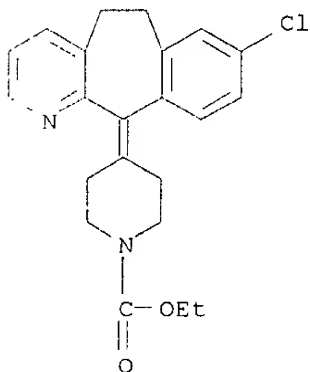
DT Patent

LA German

FAN.CNT 1

*This appl. n.*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 688412	A	19970915	CH 1997-571	19970311
	WO 9840376	A1	19980917	WO 1998-CH91	19980306
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9860869	A1	19980929	CH 1997-571	19970311
				AU 1998-60869	19980306
				CH 1997-571	19970311
				WO 1998-CH91	19980306
OS	MARPAT 128:22821				
AB	The title compd. was prepd. in 94% yield by condensation of 5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-one with 1-(ethoxycarbonyl)-4-piperidone. The 8-chloro- and 8-fluoro- derivs. of the title compd. were similarly prepd.				
IT	<b>79794-75-5P</b>				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	79794-75-5 CAPLUS				
CN	1-Piperidinecarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)				



IT 29976-53-2 32161-06-1

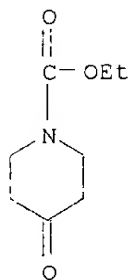
RL: RCT (Reactant)

(prepn. of Et

4-(5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)piperidine-1-carboxylate)

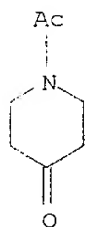
RN 29976-53-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



RN 32161-06-1 CAPLUS

CN 4-Piperidinone, 1-acetyl- (9CI) (CA INDEX NAME)



L19 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2000 ACS

AN 1996:623082 CAPLUS

DN 125:275661

TI New process for the preparation of loratadine.

IN Jackson, William Paul; Gracia Egea, Antonio

PA Farmihispania, S.A., Spain

SO Span., 11 pp.

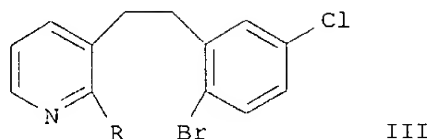
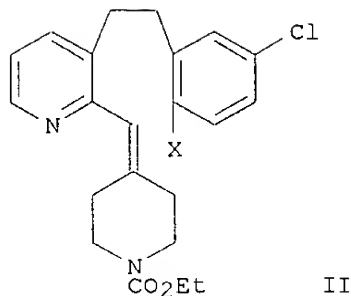
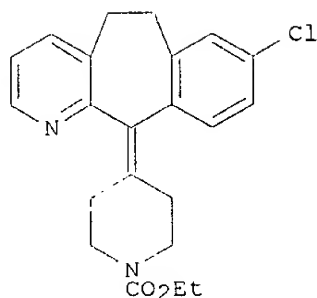
CODEN: SPXXAD

DT Patent

LA Spanish

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 2080700	A1	19960201	ES 1994-1648	19940727
	ES 2080700	B1	19961016		
OS	CASREACT 125:275661; MARPAT 125:275661				
GI					



AB A process for prepn. of the antihistaminic loratadine (I), involving Pd-catalyzed cyclization of compds. II [X = halo], is disclosed. Thus, 3-methyl-N-tert-butylpicolinamide was lithiated with BuLi in THF, then treated with 2-bromo-5-chlorobenzyl bromide to give 100% intermediate III [R = CONHBu-tert]. This was hydrolyzed, first in refluxing 40% H<sub>2</sub>SO<sub>4</sub>, and

then in aq. NaOH at 100°C., to give 37% III [R = CO<sub>2</sub>H], which

was treated with ClCO<sub>2</sub>Et and Et<sub>3</sub>N, and then with aq. NaBH<sub>4</sub>, to give after acidification 80% III.HCl [R = CH<sub>2</sub>OH]. The latter was treated with SOCl<sub>2</sub> to give 70% III [R = CH<sub>2</sub>Cl]. This was treated with P(OMe)<sub>3</sub> at reflux to give III [R = CH<sub>2</sub>P(O)(OMe)<sub>2</sub>], which reacted with NaH and N-ethoxycarbonyl-4-piperidone in THF to give 40% II [X = Br].

#### Cyclization

of this in DMF in the presence of Pd(OAc)<sub>2</sub>, PPh<sub>3</sub>, and Et<sub>3</sub>N at 100°C.,

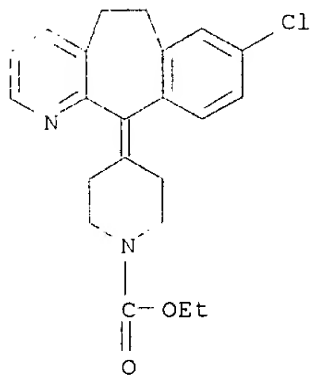
gave I. The analyzed conversion and yield were 98% and 90%. After purifn. by active C and crystn., the isolated yield was 60%, with the product identical to com. I.

IT 79794-75-5P, Loratadine

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of loratadine)

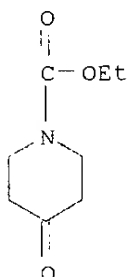
RN 79794-75-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



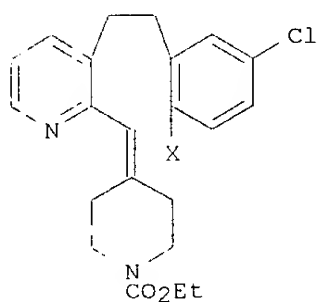
IT 29976-53-2, N-(Ethoxycarbonyl)-4-piperidone

RL: RCT (Reactant)  
 (starting material; prepn. of loratadine)  
 RN 29976-53-2 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA  
 INDEX NAME)

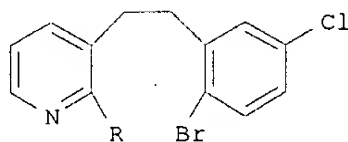


L19 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2000 ACS  
 AN 1996:623081 CAPLUS  
 DN 125:275660  
 TI New derivatives of 2-[(1-ethoxycarbonyl-4-piperidylidene)methyl]pyridine,  
 useful as intermediates for loratadine, and a process for their  
 preparation.  
 IN Gracia Egea, Antonio  
 PA Farmahispania, S.A., Spain  
 SO Span., 10 pp.  
 CODEN: SPXXAD  
 DT Patent  
 LA Spanish  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 2080699	A1	19960201	ES 1994-1646	19940727
	ES 2080699	B1	19961016		
OS	CASREACT 125:275660; MARPAT 125:275660				
GI					



I



II

AB Title compds. I [X = halo], useful as new intermediates for the  
 antihistaminic loratadine, are prepd. Thus, 3-methyl-N-tert-  
 butylpicolinamide was lithiated with BuLi in THF, then treated with  
 2-bromo-5-chlorobenzyl bromide to give 100% intermediate II [R =  
 CONHBu-tert]. This was hydrolyzed, first in refluxing 40% H2SO4, and  
 then  
 in aq. NaOH at .ltoreq. 50.degree., to give 37% II [R = CO2H], which was  
 treated with ClCO2Et and Et3N, and then with aq. NaBH4, to give after  
 acidification 80% II.HCl [R = CH2OH]. The latter was treated with SOCl2  
 to give 70% II [R = CH2Cl]. This was treated with P(OMe)3 at reflux to



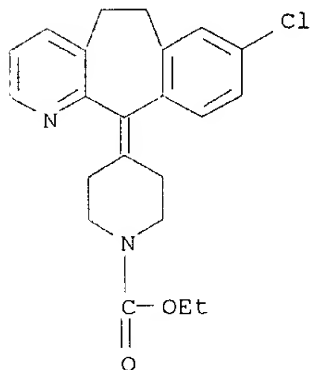
give II [R = CH<sub>2</sub>P(O)(OMe)<sub>2</sub>], which reacted with NaH and N-ethoxycarbonyl-4-piperidone in THF to give 40% I [X = Br].

IT 79794-75-5p, Loratadine

RL: PNU (Preparation, unclassified); PREP (Preparation)  
(prepn. of [(ethoxycarbonylpiperidylidene)methyl]pyridine derivs. as intermediates for loratadine)

RN 79794-75-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



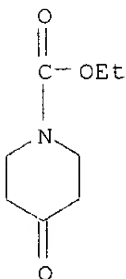
IT 29976-53-2, N-Ethoxycarbonyl-4-piperidone

RL: RCT (Reactant)

(starting material; prepn. of  
[(ethoxycarbonylpiperidylidene)methyl]pyr  
idine derivs. as intermediates for loratadine)

RN 29976-53-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



L19 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2000 ACS

AN 1994:191547 CAPLUS

DN 120:191547

TI Process for the synthesis of

8-chloro-6,11-dihydro-11-(1-ethoxycarbonyl-4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine [loratadine]

IN Tamarang, S. A.

PA Spain

SO Span., 6 pp.

CODEN: SPXXAD

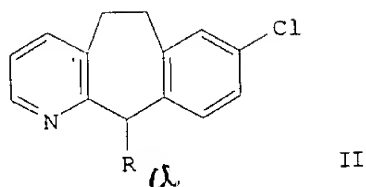
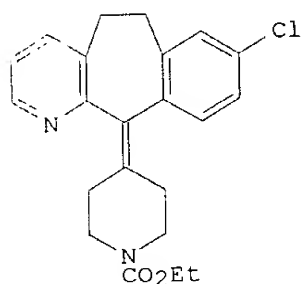
DT Patent

LA Spanish

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI ES 2040177 A1 19931001 ES 1992-504 19920306  
 ES 2040177 B1 19940516  
 OS CASREACT 120:191547  
 GI

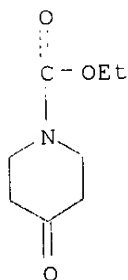


AB The antihistaminic loratadine (I) is prepd. by reaction of the dichlorodihydrobenzocycloheptapyridine II (R = Cl) with P(OMe)<sub>3</sub>, followed by Wittig-type reaction of the resultant phosphonate II [R = P(O)(OMe)<sub>2</sub>] with 1-(ethoxycarbonyl)-4-piperidone. The yield of the 1st step, conducted neat in excess P(OMe)<sub>3</sub> at 120.degree., was practically quant. The 2nd step, conducted in various solvents using NaH as base, followed by extn. and recrystn. from MeCN, gave yields of 78% (THF), 75% (benzene), and 76% (toluene), with purity of I >99% in all cases.

IT 29976-53-2, 1-Ethoxycarbonyl-4-piperidone  
 RL: RCT (Reactant)  
 (Wittig reaction of, with di-Me chlorodihydrobenzocycloheptapyridinylphosphonate)

RN 29976-53-2 CAPLUS

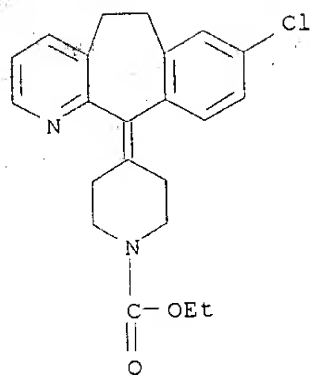
CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



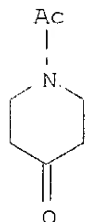
IT 79794-75-5P, Loratadine  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, via Wittig reaction of di-Me chlorodihydrobenzocycloheptapyridinylphosphonate)

RN 79794-75-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)

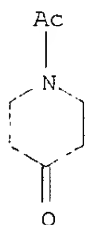


L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2000 ACS  
 AN 1990:552801 CAPLUS  
 DN 113:152801  
 TI A spacious cyclophane host for inclusion complexes of steroids and [m.n]paracyclophanes  
 AU Carcanague, Daniel R.; Diederich, Francois  
 CS Dep. Chem. Biochem., Univ. California, Los Angeles, CA, 90024-1569, USA  
 SO Angew. Chem. (1990), 102(7), 836-8  
 CODEN: ANCEAD; ISSN: 0044-8249  
 DT Journal  
 LA German  
 OS CASREACT 113:152801  
 GI For diagram(s), see printed CA Issue.  
 AB The cyclophane I was prepd. from 2-bromo-6-ethoxynaphthalene and 1-acetyl-4-piperidone. I forms inclusion complexes with cholic acid derivs. whose stability correlates with the no. of OH groups present in the steroid. I binds testosterone more tightly than cortisone or hydrocortisone. I also formed inclusion complexes with various paracyclophanes.  
 IT **32161-06-1**, 1-Acetyl-4-piperidone  
 RL: RCT (Reactant)  
 (Grignard reaction of, with ethoxynaphthyl bromide)  
 RN 32161-06-1 CAPLUS  
 CN 4-Piperidinone, 1-acetyl- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2000 ACS  
 AN 1987:214800 CAPLUS  
 DN 106:214800  
 TI Reactivity in aqueous basic medium of N-acyl 4-piperidones, soluble and fixed on a polyacrylamide support: hydration and aldolization  
 AU Sola, R.; Brugidou, J.; Taillades, J.; Commeyras, A.  
 CS Univ. Sci. Tech. Languedoc, Montpellier, 34060, Fr.  
 SO Nouv. J. Chim. (1986), 10(8-9), 499-506  
 CODEN: NJCHD4; ISSN: 0398-9836  
 DT Journal  
 LA French  
 AB Immobilization of 1-acyl-4-piperidone hydration catalysts (for amino acid manuf. from .alpha.-aminonitriles) on acrylamide polymers, did not affect the hydration equil. of the piperidones significantly. However, the network structure of crosslinked polyacrylamides suppressed intraresin trimerization which would result in catalyst deactivation. Intraresin **dimerization** was not inhibited by crosslinking. Crosslinking affected the intraresin aldol formation. The use of crosslinked resins improved the site isolation of the catalysts.

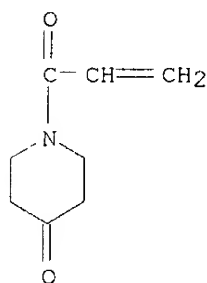
IT 32161-06-1  
 RL: CAT (Catalyst use); USES (Uses)  
 (catalysts, for hydration of aminonitriles in amino acid manuf.,  
 hydration and aldolization equil. of, immobilization in relation to)  
 RN 32161-06-1 CAPLUS  
 CN 4-Piperidinone, 1-acetyl- (9CI) (CA INDEX NAME)



IT 108454-79-1 108454-79-1D, hydrolyzed, aldolized  
 108454-80-4 108454-80-4D, hydrolyzed, aldolized  
 RL: CAT (Catalyst use); USES (Uses)  
 (catalysts, hydration and aldolization equil. of, network structure in  
 relation to)  
 RN 108454-79-1 CAPLUS  
 CN 4-Piperidinone, 1-(1-oxo-2-propenyl)-, homopolymer (9CI) (CA INDEX NAME)

CM 1

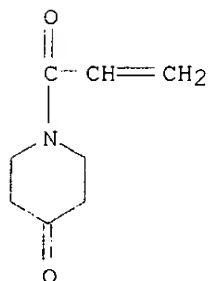
CRN 79404-69-6  
 CMF C8 H11 N O2

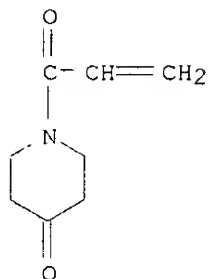


RN 108454-79-1 CAPLUS  
 CN 4-Piperidinone, 1-(1-oxo-2-propenyl)-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 79404-69-6  
 CMF C8 H11 N O2





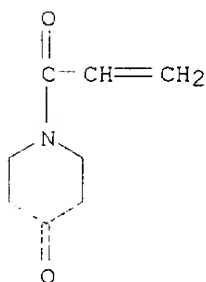
RN 108454-80-4 CAPLUS

CN 4-Piperidinone, 1-(1-oxo-2-propenyl)-, polymer with 1,4-bis(1-oxo-2-propenyl)piperazine (9CI) (CA INDEX NAME)

CM 1

CRN 79404-69-6

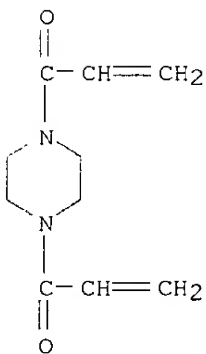
CMF C8 H11 N O2



CM 2

CRN 6342-17-2

CMF C10 H14 N2 O2

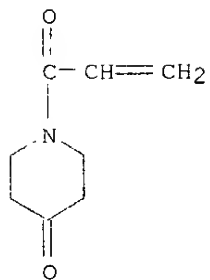


RN 108454-80-4 CAPLUS

CN 4-Piperidinone, 1-(1-oxo-2-propenyl)-, polymer with 1,4-bis(1-oxo-2-propenyl)piperazine (9CI) (CA INDEX NAME)

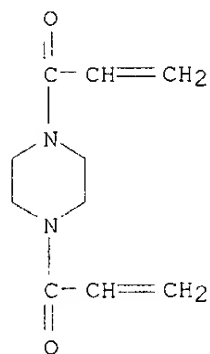
CM 1

CRN 79404-69-6  
CMF C8 H11 N O2

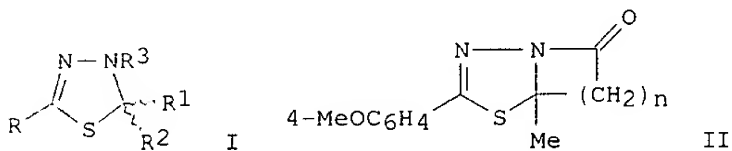


CM 2

CRN 6342-17-2  
CMF C10 H14 N2 O2



L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2000 ACS  
AN 1987:138348 CAPLUS  
DN 106:138348  
TI Thiadiazoles and dihydrothiadiazoles. Part 5. Synthesis of  
2,3-dihydro-1,3,4-thiadiazoles by reaction of aldehydes or ketones with  
thioaroylhydrazines  
AU Evans, D. Michael; Hill, Lawrence; Taylor, David R.; Myers, Malcolm  
CS Chem. Dep., Univ. Manchester Inst. Sci. Technol., Manchester, M60 1QD, UK  
SO J. Chem. Soc., Perkin Trans. 1 (1986), (8), 1499-505  
CODEN: JCPRB4; ISSN: 0300-922X  
DT Journal  
LA English  
OS CASREACT 106:138348  
GI

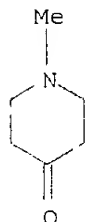


AB 1,3,4-Thiadiazole I [R = Ph, 4-MeOC<sub>6</sub>H<sub>4</sub>; R<sub>1</sub> = H, Me, Ph; R<sub>2</sub> = H, Me, Ph, 4-MeOC<sub>6</sub>H<sub>4</sub>, 4-MeC<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, CH<sub>2</sub>COMe, (CH<sub>2</sub>)<sub>2</sub>CO<sub>2</sub>H, (CH<sub>2</sub>)<sub>3</sub>CO<sub>2</sub>H, 2-HOC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub>R<sub>2</sub> = (CH<sub>2</sub>)<sub>5</sub>, (CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NMe, R<sub>3</sub> = H, Ph, CH<sub>2</sub>Ph, CHMe<sub>2</sub>] were prepd. by condensation of R<sub>1</sub>R<sub>2</sub>CO with RCSNHNHR<sub>3</sub>. The reaction of 4-MeOC<sub>6</sub>H<sub>4</sub>CSNHNH<sub>2</sub> with MeCO(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>H (n = 2, 3) gave I [R = 4-MeOC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = Me; R<sub>2</sub> = (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>H; R<sub>3</sub> = H], which were cyclized to give lactams II.

IT 1445-73-4, N-Methyl-4-piperidone  
 RL: RCT (Reactant)  
 (cyclocondensation of, with thioaroylhydrazines)

RN 1445-73-4 CAPLUS

CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2000 ACS

AN 1985:487750 CAPLUS

DN 103:87750

TI Synthesis of bis(propynyloxy)benzene-containing 1-methyl-4-piperidinols and their reactions

AU Sadykov, T.; Praliev, S. D.; Erzhanov, K. B.; Kenbaeva, R. M.

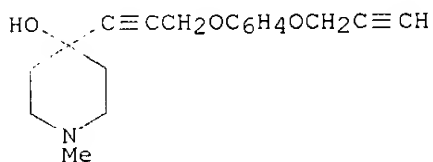
CS Inst. Khim. Nauk, Alma-Ata, USSR

SO Izv. Akad. Nauk Kaz. SSR, Ser. Khim. (1985), (2), 81-3  
 CODEN: IKAKAK; ISSN: 0002-3205

DT Journal

LA Russian

GI



I

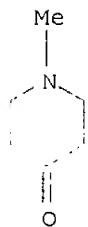
AB Treatment of C<sub>6</sub>H<sub>4</sub>(OCH<sub>2</sub>C.tplbond.CH)<sub>2</sub> (C<sub>6</sub>H<sub>4</sub> = o-, m-, or p-phenylene) with 1-methyl-4-piperidinone afforded adducts I. Oxidative dimerization of I in the presence CuCl-pyridine gave the tetraacetylenic glycols.

IT 1445-73-4  
 RL: RCT (Reactant)  
 (addn. reaction of, with bis(propynyloxy)benzene)

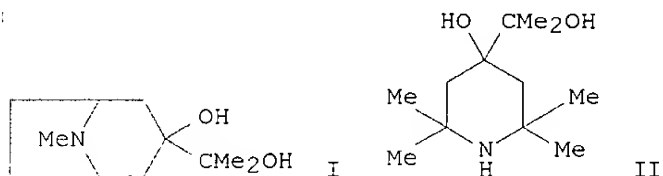
RN 1445-73-4 CAPLUS

CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)

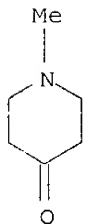




L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2000 ACS  
 AN 1983:125828 CAPLUS  
 DN 98:125828  
 TI Effect of the position of substituents on the photoreduction of  
 4-piperidones by isopropyl alcohol  
 AU Kostochka, L. M.; Belostotskii, A. M.; Skoldinov, A. P.  
 CS Nauchno-Issled. Inst. Farmakol., Moscow, USSR  
 SO Zh. Org. Khim. (1982), 18(12), 2623-4  
 CODEN: ZORKAE; ISSN: 0514-7492  
 DT Journal  
 LA Russian  
 GI

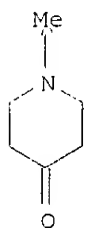


AB Photoredn. of 1-methyl- and 1-benzyl-4-piperidinone-HCl in Me<sub>2</sub>CHOH gave  
 the corresponding alcs. in 70-5% yield. 1,2,5-Trimethyl- and  
 3-carbomethoxy-1-methylpiperidinone-HCl were inert under these  
 conditions.  
 Tropinone and 2,2,6,6-tetramethyl-4-piperidinone-HCl were reduced to the  
 alcs. but also gave appreciable amts. of reductive-addn. (I and II) and  
**dimerization** products. Thus, the reactivity of the piperidinones  
 depended on whether substituents were present in the 1-, 2- or  
 3-position.  
 IT **34737-83-2**  
 RL: RCT (Reactant)  
 (photochem. redn. of, by isopropanol)  
 RN 34737-83-2 CAPLUS  
 CN 4-Piperidinone, 1-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

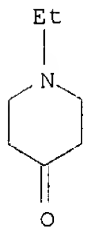
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2000 ACS  
 AN 1975:479027 CAPLUS  
 DN 83:79027  
 TI Dienelike synthesis of dihydropyrans by acetolysis of Mannich bases  
 AU Roth, H. J.; Haupt, M.  
 CS Pharm. Inst., Univ. Bonn, Bonn, Ger.  
 SO Arch. Pharm. (Weinheim, Ger.) (1975), 308(4), 241-52  
 CODEN: ARPMAS  
 DT Journal  
 LA German  
 GI For diagram(s), see printed CA Issue.  
 AB Pyrans I (RR1 = CH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-o, 4,6,2-Me<sub>2</sub>(CMe<sub>2</sub>CH<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>,  
 1,8-naphthalenediyl,  
 CH<sub>2</sub>OC<sub>6</sub>H<sub>4</sub>-o) were formed by acetolysis of the Mannich bases of tetralone,  
 3,3,6,8-tetramethyltetralone, acenaphthenone, or 4-chromanone with  
 piperidine. Acetolysis of 3-piperidinomethyl-1-methyl-4-piperidinone, on  
 the other hand, yielded only polymers. The effect of ring size and ring  
 systems on the acetolysis is discussed.  
 IT **1445-73-4**  
 RL: RCT (Reactant)  
 (Mannich reaction of, with piperidine)  
 RN 1445-73-4 CAPLUS  
 CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



09/380835

L9 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2000 ACS  
AN 2000:608746 CAPLUS  
DN 133:207884  
TI Preparation of paroxetine  
IN Rossi, Renzo; Turchetta, Stefano; Donnarumma, Maria  
PA Recordati S.A. Chemical and Pharmaceutical Co., Switz.  
SO PCT Int. Appl., 33 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000050422	A1	20000831	WO 2000-EP1430	20000222
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				IT 1999-MI364	19990223
AB	The title process employs stereoselective hydrogenation and etherification steps. Thus, 1-ethyl-4-(p-fluorophenyl)-3-hydroxymethyl-1,2,3,6-tetrahydropyridine (prepn. given) was subjected to hydrogenation catalyzed by a transition <b>metal</b> complex with a chiral diphosphinic ligand to give cis- and trans-(4R)-1-ethyl-4-(p-fluorophenyl)-3-hydroxymethylpiperidine followed by sesamol etherification to give a				
10:90	mixt. of cis and trans diastereomers from which the trans diastereomer was isolated and N-protected to give paroxetine.				
IT	3612-18-8, 1-Ethyl-4-piperidone				
	RL: RCT (Reactant)				
	(prepn. of paroxetine)				
RN	3612-18-8 CAPLUS				
CN	4-Piperidinone, 1-ethyl- (9CI) (CA INDEX NAME)				



RE.CNT 3  
RE  
(1) Monsanto Co; WO 9209552 A 1992

(2) Novonordisk As; WO 9636636 A 1996  
(3) Smithkline Beecham Plc; WO 9322284 A 1993

L9 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2000 ACS

AN 1999:736712 CAPLUS

DN 131:336878

TI Preparation of novel .beta.-lactam compounds as antibacterials

IN Sunagawa, Makoto; Yamaga, Hiroshi; Sumita, Yoshihiro; Shinagawa, Hisatoshi

PA Sumitomo Pharmaceuticals Company, Limited, Japan

SO PCT Int. Appl., 44 pp.

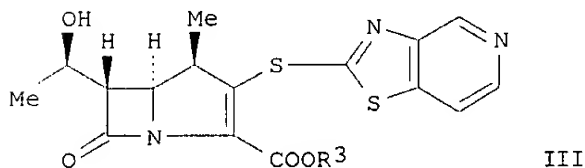
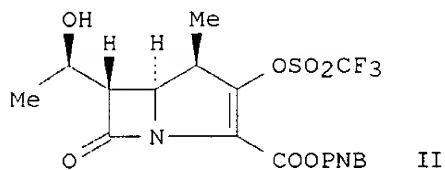
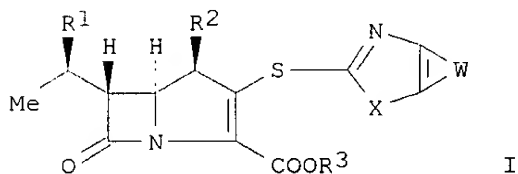
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9958536	A1	19991118	WO 1999-JP2261	19990428
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9940576	A1	19991129	JP 1998-142151	19980508
				AU 1999-40576	19990428
				JP 1998-142151	19980508
				WO 1999-JP2261	19990428
OS	MARPAT 131:336878				
GI					



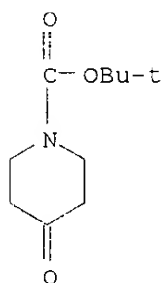
AB Title compds. I [R1 = (hydroxy) lower alkyl; R2 = H, lower alkyl; X = O,

S; R3 = H, **metal**, protecting group; W = part of a 6- or 7-membered heterocycle contg. nitrogen optionally substituted at carbon atoms], possessing excellent antibacterial activity on gram-pos. bacteria, in particular methicillin resistant *Staphylococcus aureus* and methicillin resistant coagulase neg. *Staphylococcus aureus* (no data), are prepd. Thus, carbapenem deriv. II was treated with 2-mercaptopyrido[3,4-d][1,3]thiazole in CH<sub>2</sub>Cl<sub>2</sub> contg. NaH to give 39% III [R3 = p-nitrobenzyl], which was deprotected (palladium over carbon) and the product was isolated as the sodium salt [III; R3 = Na].

IT 79099-07-3, 1-tert-Butoxycarbonyl-4-piperidinone  
 RL: RCT (Reactant)  
 (prepn. of novel antibacterial .beta.-lactam derivs.)

RN 79099-07-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 9  
 RE  
 (1) Anon; JP 10-508285 A  
 (2) Anon; BR 1101108 A3  
 (3) Anon; EP 268963 A1  
 (4) Anon; EP 337637 A1 CAPLUS  
 (8) Merck & Co, Inc; WO 9525108 A1 1995 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2000 ACS  
 AN 1999:421689 CAPLUS  
 DN 131:59133  
 TI Preparation of hydantoin derivatives substituted at position 5, .alpha.-amino acid alkali **metal** salts, and cyclic .alpha.-amino acid esters  
 IN Tendjoun, Victor; Refouvelet, Bernard  
 PA Seranalais, Fr.  
 SO PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932488	A1	19990701	WO 1998-FR2787	19981218
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,			

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			FR 1997-16072	19971218
FR 2772762	A1	19990625	FR 1997-16072	19971218
FR 2772762	B1	20000526		
AU 9918807	A1	19990712	AU 1999-18807	19981218
			FR 1997-16072	19971218
			WO 1998-FR2787	19981218

OS MARPAT 131:59133

AB Hydantoin derivs. substituted at position 5 were prep'd. from carbonyl compds. by reactions with a cyanide comp'd. and an ammonium comp'd. The hydantoin derivs. were converted into .alpha.-amino acid alkali metal salts and esters. Thus, 8-methyl-1,3,8-Triazaspiro[4.5]decane-2,4-dione, prep'd. by reaction of N-methyl-4-piperidone with sodium carbonate and potassium cyanide in ethanol-water, was treated with potash in aq. ethoxyethanol to afford 4-amino-1-methylpiperidine-4-carboxylic acid, which converted into the Me ester.

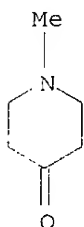
IT 1445-73-4, n-Methyl-4-piperidone

RL: RCT (Reactant)

(prepn. of hydantoin derivs. substituted at position 5, .alpha.-amino acid alkali metal salts, and cyclic .alpha.-amino acid esters)

RN 1445-73-4 CAPLUS

CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



RE.CNT 2

RE

(1) Huls; FR 1365051 A 1964

(2) Huls; FR 1376824 A 1965

L9 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2000 ACS

AN 1997:528750 CAPLUS

DN 127:190845

TI N,N'-Dimethyl-3,7-diazabicyclo[3.3.1]nonane Nickel(0) Complexes: Applying the Macrocyclic Effect to Smaller Ring Structures

AU Haack, Karl-Josef; Goddard, Richard; Poerschke, Klaus-Richard

CS Max-Planck-Institut fuer Kohlenforschung, Muelheim an der Ruhr, D-45466, Germany

SO J. Am. Chem. Soc. (1997), 119(34), 7992-7999

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AB The two N donor atoms in the tertiary diamine N,N'-dimethyl-3,7-diazabicyclo[3.3.1]nonane (dabn, C<sub>9</sub>H<sub>18</sub>N<sub>2</sub>) are ideally positioned in the bicyclic structure for chelation to a metal center. This feature was utilized to synthesize unusual diamine nickel(0)-ethene and -ethyne complexes, which represent limiting cases of the Pearson

hard-soft

acid-base concept. Thus, the reaction of Ni(C<sub>2</sub>H<sub>4</sub>)<sub>3</sub> with dabn affords yellow TP-3 (C<sub>9</sub>H<sub>18</sub>N<sub>2</sub>)Ni(C<sub>2</sub>H<sub>4</sub>) (1) (dec. 0.degree.) in which the ethene ligand displays extreme high-field NMR shifts at .delta.(H) 0.27 and

.delta.(C) 20.4 and an exceptionally small coupling const.  $1J(\text{CH}) = 142$  Hz. Reaction of 1 with butadiene yields the red mononuclear T-4 complex  $(\text{C}_9\text{H}_{18}\text{N}_2)\text{Ni}(\eta^2\text{-C}_4\text{H}_6)_2$  (2a) in soln., from which the dinuclear deriv.  $\{(\text{C}_9\text{H}_{18}\text{N}_2)\text{Ni}(\eta^2\text{-C}_4\text{H}_6)\}_2(\mu\text{-}\eta^2\text{-}\eta^2\text{-C}_4\text{H}_6)$  (2) (dec.

20.degree.)

is isolated. Complexes 2 and 2a are more sol. than 1 and thus better suited for further reactions. When ethyne is added to a soln. of 2 or 2a at -78.degree., the yellow TP-3 complex  $(\text{C}_9\text{H}_{18}\text{N}_2)\text{Ni}(\text{C}_2\text{H}_2)$  (3) (dec. -30.degree.) is obtained. The ethyne ligand of 3 exhibits the lowest IR C.tplbond.C stretching frequency (1560  $\text{cm}^{-1}$ ) and by far the smallest NMR coupling const.  $1J(\text{CH}) = 178$  Hz yet reported for a mononuclear nickel(0)-ethyne complex. In addn.,  $\text{Ni}(\text{CO})_4$  reacts with dabn to yield orange T-4  $(\text{C}_9\text{H}_{18}\text{N}_2)\text{Ni}(\text{CO})_2$  (4). The results demonstrate that tertiary diamines, which are hard Lewis bases and which a priori are expected to coordinate poorly to the soft Lewis acid  $\text{Ni}(0)$ , may be supported in such

a

coordination by the stabilizing principle of preorganization and consequently act as very powerful donor ligands.

IT

1445-73-4, 1-Methyl-4-piperidone

RL: RCT (Reactant)

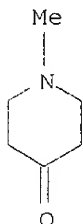
(conversion to diazabicyclononane)

RN

1445-73-4 CAPLUS

CN

4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2000 ACS

AN 1996:693779 CAPLUS

DN 125:307199

TI Coatings of .beta.-diketone metal complexes in polar aprotic solvents for forming metal oxide films

IN Tanitsu, Katsuya; Nakayama, Munee; Sato, Yoshimi

PA Tokyo Ohka Kogyo Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent

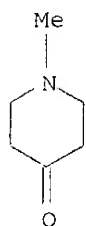
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08231926	A2	19960910	JP 1995-329455	19951127
	JP 2824751	B2	19981118		

AB Storage-stable coating compns. giving uniform metal oxide films with improved adhesion contain .beta.-diketone metal complexes and .gtoreq.1 aprotic solvent selected from DMF, N,N-dimethylacetamide, acetonitrile, DMSO, N,N,N',N'-tetraethylsulfamide, hexamethylphosphoric acid triamide, N-methylmorpholine, N-methylpyrrole, N-ethylpyrrole, N-methyl-.DELTA.3-pyrroline, N-methylpiperidine, N-ethylpiperidine, N,N-dimethylpiperazine, N-methylimidazole, N-methyl-4-piperidone, N-methyl-2-piperidone, N-methyl-2-pyrrolidone (I), 1,3-dimethyl-2-imidazolidinone, and 1,3-dimethyltetrahydro-2(1H)-pyrimidinone. Thus, a sodium silicate glass plate was impregnated with a mixt. of Et acetoacetate Al diisopropylate 15, acetylacetone 3, and I 25 parts after 12-mo storage, dried at 140.degree. for 15 min, and fired at 500.degree.

to for 60 min to give an Al<sub>2</sub>O<sub>3</sub> pin hole-free coating showing good adhesion  
 the substrate.  
 IT 1445-73-4, N-Methyl-4-piperidone  
 RL: NUU (Nonbiological use, unclassified); USES (Uses)  
 (storage-stable coatings contg. .beta.-diketone **metal** complex  
 in aprotic solvents for forming **metal** oxide films)  
 RN 1445-73-4 CAPLUS  
 CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2000 ACS  
 AN 1993:587608 CAPLUS  
 DN 119:187608  
 TI A composition and method for simultaneous absorption of sulfur dioxide  
 and nitric oxide  
 IN Chang, Dane; Bedell, Stephen A.; Kirby, Larry H.  
 PA Dow Chemical Co., USA  
 SO PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

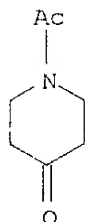
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9303825	A1	19930304	WO 1992-US6736	19920812
	W: CA, DE, GB, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
	CA 2093901	AA	19930214	US 1991-744157	19910813
				CA 1992-2093901	19920812
				US 1991-744157	19910813
	EP 552360	A1	19930728	EP 1992-918433	19920812
	R: DE, GB				
				US 1991-744157	19910813
				WO 1992-US6736	19920812
	JP 06502349	T2	19940317	JP 1993-504406	19920812
				US 1991-744157	19910813
				WO 1992-US6736	19920812
	GB 2264488	A1	19930901	GB 1993-7576	19930413
	GB 2264488	B2	19950222		
				US 1991-744157	19910813
				WO 1992-US6736	19920812

OS MARPAT 119:187608  
 AB SO<sub>2</sub> and NO are simultaneously removed from flue gases by an absorption  
 process and app. using an absorbent compn. comprising an aq. soln. of  
 chelates and sulfite salt for NO abatement and amine SO<sub>2</sub> absorbents such  
 as piperazinones, morpholinones, piperidines, piperazines,  
 piperazinediones, hydantoines, triazinones, pyrimidinones, oxazolidones,  
 etc., for SO<sub>2</sub> abatement. SO<sub>2</sub> is thermally stripped from the spent  
 absorbent and recovered. **Metal** chelates oxidized to an inactive  
 state as a side-reaction are electrochem. reduced. An anionic exchange  
 membrane in the electrochem. cell regenerates heat stable amine salt

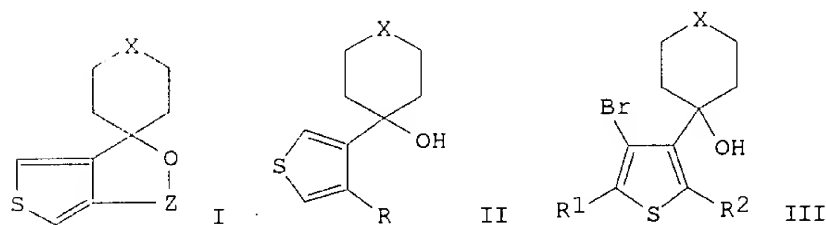


byproducts to be converted back to usable amine sorbent, and facilitates removal from the absorbent soln. of other waste salts.

IT 32161-06-1, 1-Acetyl-4-piperidone  
RL: OCCU (Occurrence)  
(for sulfur dioxide absorption, from flue gases)  
RN 32161-06-1 CAPLUS  
CN 4-Piperidinone, 1-acetyl- (9CI) (CA INDEX NAME)

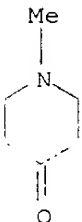


L9 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2000 ACS  
AN 1988:221582 CAPLUS  
DN 108:221582  
TI Thienospirans. VI. Spiro-substituted thieno[3,4-c]furans by regioselective lithiations  
AU Sauter, Fritz; Stanetty, Peter; Froehlich, Hannes  
CS Inst. Org. Chem., Tech. Univ. Vienna, Vienna, A-1060, Austria  
SO Heterocycles (1987), 26(10), 2657-72  
CODEN: HTCYAM; ISSN: 0385-5414  
DT Journal  
LA English  
OS CASREACT 108:221582  
GI

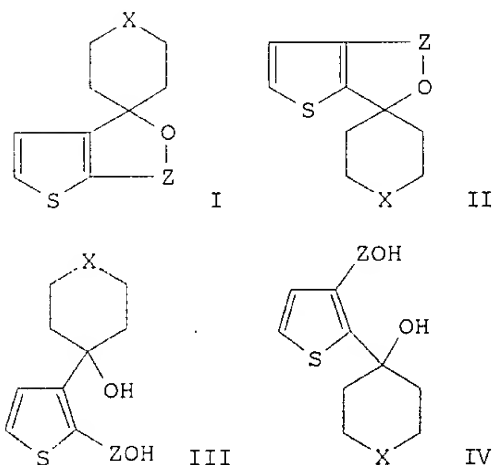


AB The title compds. I (X = CH<sub>2</sub>, CHNMe<sub>2</sub>, NMe, Z = CO, CHPh) were obtained by cyclization of 3,4-disubstituted thiophenes II (R = CO<sub>2</sub>H, CHPhOH) prepd. in one-pot reactions starting from 3,4-dibromothiophene by means of two consecutive lithiations and addns. of carbonyl compds. By a combination of variation of the solvent and of the lithiating agent in the second metalation step and by influence of the substituent introduced in the first step, it was possible to obtain good yields of either II by metal-halogen exchange, 2,3-disubstituted 4-bromothiophenes, e.g., III (R<sub>1</sub> = H, R<sub>2</sub> = CHPhOH, X = CH<sub>2</sub>) by directed lithiation, or 2,4-disubstituted 3-bromothiophenes III (R<sub>1</sub> = CHPhOH, R<sub>2</sub> = H, X = CH<sub>2</sub>, NMe) by controlled ortho-metalation.

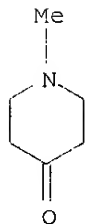
IT 1445-73-4, N-Methyl-4-piperidone  
RL: RCT (Reactant)  
(condensation of, with lithiated thiophenes)  
RN 1445-73-4 CAPLUS



L9 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2000 ACS  
 AN 1988:221581 CAPLUS  
 DN 108:221581  
 TI Thienospirans. V. Thienospirans via directed lithiations  
 AU Sauter, Fritz; Stanetty, Peter; Froehlich, Hannes; Ramer, Wolfgang  
 CS Inst. Org. Chem., Tech. Univ. Vienna, Vienna, A-1060, Austria  
 SO Heterocycles (1987), 26(10), 2639-56  
 CODEN: HTCYAM; ISSN: 0385-5414  
 DT Journal  
 LA English  
 OS CASREACT 108:221581  
 GI



AB Using halogen-metal exchange and ortho-directed metalation methodologies, spiro(thieno[b]furans) I and II (X = CH<sub>2</sub>, CHNMe<sub>2</sub>, NMe, Z = CO, CHPh) were synthesized starting from 3-bromothiophene, in one case proceeding via cyclization of the 2,3-difunctionalized thiophenes III and in the other case proceeding via cyclization of the isomeric compds. IV.  
 IT 1445-73-4, N-Methyl-4-piperidone  
 RL: RCT (Reactant)  
 (condensation with lithiated thiophene)  
 RN 1445-73-4 CAPLUS  
 CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2000 ACS  
 AN 1987:565530 CAPLUS  
 DN 107:165530  
 TI Film-forming compositions comprising polyglutarimide  
 IN Brunsvold, William R.; Crockatt, Dale M.; Skinner, Michael Patrick  
 PA International Business Machines Corp. , USA  
 SO Eur. Pat. Appl., 24 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 219626	A2	19870429	EP 1986-110658	19860801
	EP 219626	A3	19890524		
	R: DE, FR, GB, IT				
	CA 1290087	A1	19911001	US 1985-788366	19851017
				CA 1986-507288	19860422
				US 1985-788366	19851017
	JP 62099747	A2	19870509	JP 1986-193006	19860820
				US 1985-788366	19851017

AB Film-forming compns. which are useful as pos. resists sensitive to both electron beams and deep UV radiation are comprised of polyglutarimides, preferably polydimethylglutarimide, and at least both a solvent and a nonsolvent for the polyglutarimide with an initial viscosity of 390 to 1200 cSt at 20.degree. to 30.degree.. The polyglutarimide has high glass transition temp. and provides resists of high thermal stability and very fine spatial resolu.; hence, they are useful in microcircuitry processing.

The dry thickness of the resists on wafers is controlled by the viscosity of the film-forming compns. which is, in turn, controlled by the ratio between the solvent and the nonsolvent. The film-forming compns. are capable of forming dry resist films .gtoreq.1 .mu.m thick on substrates, which are useful as planarizing under layers, **metal** lift-off layers, and parts of multilayer resist structures, by spin casting.

Thus, a compn. contg. polydimethylglutarimide 14.5, N-methylpyrrolidone 21.37, and anisole 64.11% (viscosity 518 cSt) was spin-cast on a Si wafer at 3000 rpm for 60 s to give a dry resist film with a thickness of 1.87 .mu.m. The thickness of a dry resist film obtained by spin casting a compn. contg. polydimethylglutarimide 15.0, N-methylpyrrolidone 21.258 and anisole 63.75% was 2.11 .mu.m.

IT **32161-06-1**, 1-Acetyl-4-piperidone  
 RL: USES (Uses)  
 (polyglutarimide radiation-sensitive resist compns. contg. nonsolvent and solvent of)

RN 32161-06-1 CAPLUS

CN 4-Piperidinone, 1-acetyl- (9CI) (CA INDEX NAME)